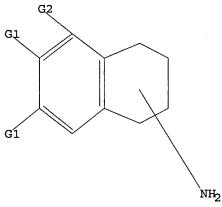
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(FILE 'HOME' ENTERED AT 08:11:23 ON 09 OCT 2003)

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FILE 'REGISTRY' ENTERED AT 08:11:27 ON 09 OCT 2003
Ll
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L2
                STRUCTURE UPLOADED
L3
                QUE L2 NOT L1
L4
                SCREEN 2026 OR 2021 OR 2016 OR 973 OR 2127 OR
                                                                  1993 OR
L5
                STRUCTURE UPLOADED
                QUE L5 NOT L4
L6
L7
             38 S L6 FUL CSS
     FILE 'CAPLUS' ENTERED AT 08:13:19 ON 09 OCT 2003
L8
            343 S L7
L9
         169063 S INFLAMM?
         138050 S CYTOKINE?
L10
L11
          58619 S ARTHRITIS OR PNACREATITIS OR LUPUS OR ENCEPHALOMYELITIS OR GL
           6640 S PANCREATITIS
L12
L13
         318151 S L11 OR L12 OR L10 OR L9
L14
              3 S L13 AND L8
=> d 15
L5 HAS NO ANSWERS
L5
                STR
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G1 OH, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, t-BuO, X

G2 H, OH, MeO, X

Structure attributes must be viewed using STN Express query preparation.

=> d bib abs hitstr 114 1-3

L14ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN 2002:977602 CAPLUS ΑN 138:39143 DN Preparation of purines as inhibitors of phosphodiesterase VII (PDE7) for ΤI therapeutic use in treating T-cell mediated diseases Vaccaro, Wayne; Roberge, Jacques Y.; Leftheris, Katerina; Pitts, William IN J.; Barbosa, Joseph PA Bristol-Myers Squibb Company, USA PCT Int. Appl., 69 pp. SO CODEN: PIXXD2 DT Patent

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LA English FAN.CNT 6
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PAN.CNI O																		
	PATENT NO.			KI	ND DATE APPLICATION NO. DATE													
											·							
ΡI	WO 2	20021	1023	14	A.	2 :	2002	1227		W	200)2-U	S191:	26	2002	0617		
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	HU,	ID,	IL,	IN,	ΙS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,
			UA,	ŪG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,
			ТJ,	TM														
		RW:	GH,	GM,	KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	ΑT,	BE,	CH,
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG
	US 2	20030	9272	21	A:	1 .	2003	0515		U	S 20	02-1	7332:	2 :	2002	0617		
	US 2	20031	10057	71	A:	1 .	2003	0529		U	S 200	02-1	7353	0 :	2002	0617		
PRAI	US 2	2001-	-2992	287P	P		2001	0619									•	
	US 2	2002 -	368	752P	P		2002	0329										
os	MARF	PAT 1	138:3	39143	3													
GI																		

AB Purines, such as I and II [R1 = H, alkyl; R2 = heteroaryl, heterocyclyl, aryl; J = H, halogen, alkoxy, alkenyloxy, alkynyloxy, aryloxy, heteroaryloxy, cycloalkyloxy, etc.; Y = alkyl, alkenyl, alkynyl, aryl, heteroaryl, heterocyclyl, cycloalkyl; Z = alkoxy, alkylthio, alkylamino, alkylsulfonylamino aryloxy, arylthio, arylamino, arylsulfonylamino, heteroaryloxy, heteroarylthio, heteroarylamino, heteroarylsulfonylamino, etc.], were prepd. for pharmaceutical use as PDE7 inhibitors for use in treating T-cell mediated diseases, such as transplant rejection, rheumatoid arthritis, and juvenile diabetes. Thus, purine II (Z = 3-pyridinylmethyl) was prepd. starting from 2,6-dichloro-7-ethylpurine, 2-amino-4-methyl-5-thiazolecarboxylic acid Et ester and 3-pyridinemethanamine. The prepd. purines were assayed for inhibition of PDE in Hut78 cell lysate using an SPA specific for cAMP and were assayed for inhibition of prodn. and secretion of TNF.alpha. for leukocytes. Pharmaceutical compns. were also discussed.

IT 119999-69-8

RL: RCT (Reactant); RACT (Reactant or reagent)
 (prepn. of purines as inhibitors of phosphodiesterase VII (PDE7) for
 therapeutic use in treating T-cell mediated diseases)

RN 119999-69-8 CAPLUS

CN 1-Naphthalenamine, 1,2,3,4-tetrahydro-6,7-dimethoxy- (9CI) (CA INDEX NAME)

L14 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:543041 CAPLUS

DN 129:161424

TI Preparation of (S)-2-amino-6-fluoro-7-methoxytetraline for treatment of **septic** shock.

IN Moretti, Gian Piero; Foresta, Piero

PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy

SO PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

GI

PAN.	^IN I	Ţ				
	PA	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO	9833762	A1	19980806	WO 1998-IT11	19980128
		W: JP, US				
		RW: AT, BE,	CH, DE	, DK, ES, FI,	FR, GB, GR, IE, IT	, LU, MC, NL, PT, SE
	EΡ	968174	A1	20000105	EP 1998-902173	19980128
	ΕP	968174	B1	20030122		
		R: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IT, LI, LU	, NL, SE, MC, PT,
		IE, FI				
	JP	2001509802	T2	20010724	JP 1998-532693	19980128
	AT	231486	E	20030215	AT 1998-902173	19980128
	ES	2190581	Т3	20030801	ES 1998-902173	19980128
	US	6225501	B1	20010501	US 1999-341762	19990716
PRAI	ΙT	1997-RM50	Α	19970203		
	WO	1998-IT11	W	19980128		

AB S(-)-amino-6-fluoro-7-methoxytetraline (I) and salts thereof were prepd. Thus, L-aspartic acid was refluxed with (F3CCO)20 in CF3CO2H to give 95% N-trifluoroacetylaspartic anhydride. This was stirred with 2-fluoroanisole and AlCl3 to give 78.3% (S)-4-(3-fluoro-4-methoxyphenyl)-4-oxo-2-(N-trifluoroacetyl)aminobutanoic acid. The latter was treated with Et3SiH in refluxing CF3CO2H to give 75% (S)-4-(3-fluoro-4-methoxyphenyl)-2-(N-trifluoroacetyl)aminobutanoic acid. The acid in CH2Cl2 was treated with PCl5 and then with AlCl3 at -20.degree.-reflux to give 60.4% (S)-(N-trifluoroacetyl)amino-6-fluoro-7-methoxy-1-tetralone. Treatment of the latter with Et3SiH in BF3.Et2O at 0.degree.-room temp. gave 78.63%

(S)-(N-trifluoroacetyl)amino-6-fluoro-7-methoxytetraline. This was refluxed with K2CO3 in MeOH/H2O to give 52.8% I.HCl (ST 1214). ST 1214 at 6 mg/kg i.v. in mice reduced lethality induced by E. coli or S. typhosa LPS by 37% and 65%, resp.

211173-67-0P, (S)-2-Amino-6-fluoro-7-methoxytetraline RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (S)-2-amino-6-fluoro-7-methoxytetraline for treatment of septic shock)

211173-67-0 CAPLUS RN

CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN L14

AN 1996:649632 CAPLUS

DN 125:266047

ΤI Use of 6,7-substituted-2-aminotetralines for preparing pharmaceutical compositions useful for the treatment of septic shock, and antipyretic and anti-inflammatory pharmaceutical compositions

IN Foresta, Piero; Ruggiero, Vito

PA Sigma-Tau Industrie Farmaceutiche Riunite S.P.A., Italy

SO Eur. Pat. Appl., 16 pp. CODEN: EPXXDW

Patent

DT English LA

FAN.CNT 1

	O212 =				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 730861	A1	19960911	EP 1996-102860	19960226
	EP 730861	B1	20000802		
	R: AT, BE,	CH, DE	, DK, ES, FR,	GB, GR, IE, IT, LI	, LU, MC, NL, PT, SE
	AT 195072	E	20000815	AT 1996-102860	19960226
	ES 2150034	Т3	20001116	ES 1996-102860	19960226
	US 5591777	Α	19970107	US 1996-607452	19960227
	TW 471967	В	20020111	TW 1996-85102443	19960229
	CA 2171081	AA	19960910	CA 1996-2171081	19960305
	ZA 9601897	Α	19960912	ZA 1996-1897	19960308
	JP 08268884	A2	19961015	JP 1996-53075	19960311
PRAI	IT 1995-RM143	Α	19950309		
os	MARPAT 125:26604	.7			

AΒ The use of 6,7-substituted-2-aminotetralines (e.g. 2-amino-6-fluoro-7methoxytetraline) is disclosed for prepq. pharmaceutical compns. useful for the treatment of septic shock and having antiinflammatory and antipyretic activities. Oral administration of 2-amino-6-fluoro-7-methoxytetraline (ST 626) at doses of 10, 20, and 50 mg/kg was able to decrease Brewer's yeast-induced pyrexia, as evaluated by rectal temp. measurements. Moreover, edema, developing as a consequence of the treatment with the phlogistic agent, was kept at lower values following treatment with ST 626.

IT 140914-59-6, ST 626

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(aminotetralines for pharmaceutical compns. useful for treatment of **septic** shock and as antipyretics and **inflammation** inhibitors)

RN 140914-59-6 CAPLUS

CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methoxy- (9CI) (CA INDEX NAME)

$$H_2N$$
 OMe

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=> d his

L35

L36

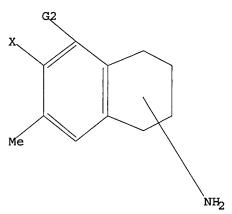
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FILE 'REGISTRY' ENTERED AT 08:46:48 ON 09 OCT 2003

0 S L29

4 S L29 FUL

=> d 129 L29 HAS NO ANSWERS L29 STI



G1 OH, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, t-BuO, X, Ak G2 H, OH, MeO, X

Structure attributes must be viewed using STN Express query preparation.

=> d ide bib abs 1-4

L36 ANSWER 1 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN

RN 221384-94-7 REGISTRY

CN 2-Naphthalenamine, 6-fluoro-1,2,3,4-tetrahydro-7-methyl-, hydrochloride (9CI) (CA INDEX NAME)

MF C11 H14 F N . Cl H

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

AN 130:237373 CA

TI Preparation of 2-aminotetralines for the prevention and treatment of inflammatory and/or autoimmune pathologies.

IN Fanto, Nicola; Moretti, Gian Piero; Foresta, Piero

PA Sigma-Tau Industrie Farmaceutiche Riunite S.p.A., Italy

SO PCT Int. Appl., 69 pp. CODEN: PIXXD2

DT Patent

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LA English FAN.CNT 1
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PAN.	PATENT NO.				KI	ND 	DATE			APPLICATION NO. DATE								
ΡI	WO	9915	494		A:	1	1999	0401		W	19	98-I'	Г252		1998	0922		
		W:	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,
			DK,	EE,	ES,	FI,	GB,	GE,	GH,	GM,	HU,	ID,	IL,	IS,	JP,	KE,	KG,	ΚP,
			KR,	KZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,
			NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	UA,
			UG,	US,	UZ,	VN,	YU,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM	
		RW:	GH,	GM,	KΕ,	LS,	MW,	SD,	SZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,
			FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
			CM,	GΑ,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG						
	CA	2303	943		A	A	19990401 CA 1998-2303943 19980922											
	AU 9893662 A:		A1 19990412				AU 1998-93662					19980922						
	ΑU	J 738565			B2 20010			0920	0									
	EΡ					EP 1998-946706												
		R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙT,	LI,	LU,	NL,	SE,	MC,	PT,
							FI,											
		9812									₹ 19	98-1	2368		1998	0922		
		2001													1998			
		5034					2002								1998			
		2002																
		2003						0821		US	3 20	01-8	1631	7	2001	0326		
PRAI		1997																
		1998																
	US	2000	-533	381	200	0003	22											
GI																		

$$\mathbb{R}^2$$
 \mathbb{R}^1
 \mathbb{N}^2
 \mathbb{N}^2
 \mathbb{N}^2

AB Title compds. [I; R, R1 = halo, OH, (substituted) alkoxy, alkanoyl, alkyl, carbamoyl, carbamoyloxy, amino, etc.; R2 = H, halo, OH, MeO; with provisos], and salts thereof, were prepd. Thus, (R)-(+)-2-amino-6-fluoro-7-hydroxytetralin hydrochloride (prepd. in several steps from D-aspartic acid and 2-fluoroanisole) at 18 mg/kg i.v. improved survival in E. coli LPS-treated mice by 44%.

RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L36 ANSWER 2 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN

RN 70153-83-2 REGISTRY

CN 1(2H)-Naphthalenone, 2-amino-6-chloro-3,4-dihydro-7-methyl-, hydrochloride (9CI) (CA INDEX NAME)

MF C11 H12 Cl N O . Cl H

LC STN Files: CA, CAPLUS

HCl

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

AN 91:20185 CA

TI Cyclic aminoalcohols

IN Hiraoka, Masayuki; Fukami, Hideo; Fukumori, Satoshi; Mizusawa, Hidetoshi; Fujihara, Hiroshi; Yasui, Bompei

PA Funai Pharmaceutical Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

1111	·CHI					
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE		
ΡI	JP 54003047	A2 19790111	JP 1977-67585	19770608		
	JP 60045179	B4 19851008				
PRA GI	I JP 1977-67585	19770608				

AB Twenty-two title compds. I.HCl (R = aryloxy, aralkyl, aryl, cyclic alkyl, alkyl; R1 = H, alkyl, halo; or R R1 = alkylene) were prepd. by redn. of II or III or by hydrolysis of IV (R2 = alkyl, etc.). I had coronary vasodilating and heart muscle contraction inhibitory activities and are useful as remedies for angina pectoris (data given in the isolated guinea pig heart by Langendorff method). Thus, refluxing 3 g IV (R = PhO, R1 = H, R2 = Me) with 100 mL 0.1% HCl 12 h gave cis-I HCl (R = PhO, R1 = H).

L36 ANSWER 3 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN

RN 70153-48-9 REGISTRY

CN 1-Naphthalenol, 2-amino-6-chloro-1,2,3,4-tetrahydro-7-methyl-,

hydrochloride, trans- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C11 H14 Cl N O . Cl H

LC STN Files: CA, CAPLUS

Relative stereochemistry.

● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

AN 91:20185 CA

TI Cyclic aminoalcohols

IN Hiraoka, Masayuki; Fukami, Hideo; Fukumori, Satoshi; Mizusawa, Hidetoshi; Fujihara, Hiroshi; Yasui, Bompei

PA Funai Pharmaceutical Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND DATE	APPLICATION NO.	DATE		
PI JP 54003047 JP 60045179	A2 19790111 B4 19851008	JP 1977-67585	19770608		
PRAI JP 1977-67585 GI	19770608				

AB Twenty-two title compds. I.HCl (R = aryloxy, aralkyl, aryl, cyclic alkyl,

alkyl; R1 = H, alkyl, halo; or R R1 = alkylene) were prepd. by redn. of II or III or by hydrolysis of IV (R2 = alkyl, etc.). I had coronary vasodilating and heart muscle contraction inhibitory activities and are useful as remedies for angina pectoris (data given in the isolated guinea pig heart by Langendorff method). Thus, refluxing 3 g IV (R = PhO, R1 = H, R2 = Me) with 100 mL 0.1% HCl 12 h gave cis-I HCl (R = PhO, R1 = H).

L36 ANSWER 4 OF 4 REGISTRY COPYRIGHT 2003 ACS on STN

RN 70153-39-8 REGISTRY

CN 1-Naphthalenol, 2-amino-6-chloro-1,2,3,4-tetrahydro-7-methyl-, hydrochloride, cis- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C11 H14 Cl N O . Cl H

LC STN Files: CA, CAPLUS

Relative stereochemistry.

● HCl

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1

AN 91:20185 CA

TI Cyclic aminoalcohols

IN Hiraoka, Masayuki; Fukami, Hideo; Fukumori, Satoshi; Mizusawa, Hidetoshi; Fujihara, Hiroshi; Yasui, Bompei

PA Funai Pharmaceutical Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 15 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	JP 54003047	A2	19790111	JP 1977-67585	19770608		
	JP 60045179	B4	19851008				
PRAI	JP 1977-67585	19770	608				

GΙ

AB Twenty-two title compds. I.HCl (R = aryloxy, aralkyl, aryl, cyclic alkyl, alkyl; R1 = H, alkyl, halo; or R R1 = alkylene) were prepd. by redn. of II or III or by hydrolysis of IV (R2 = alkyl, etc.). I had coronary vasodilating and heart muscle contraction inhibitory activities and are useful as remedies for angina pectoris (data given in the isolated guinea pig heart by Langendorff method). Thus, refluxing 3 g IV (R = PhO, R1 = H, R2 = Me) with 100 mL 0.1% HCl 12 h gave cis-I HCl (R = PhO, R1 = H).

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